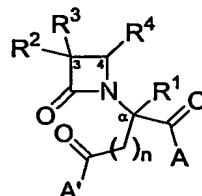


- 75 -

WHAT IS CLAIMED IS:

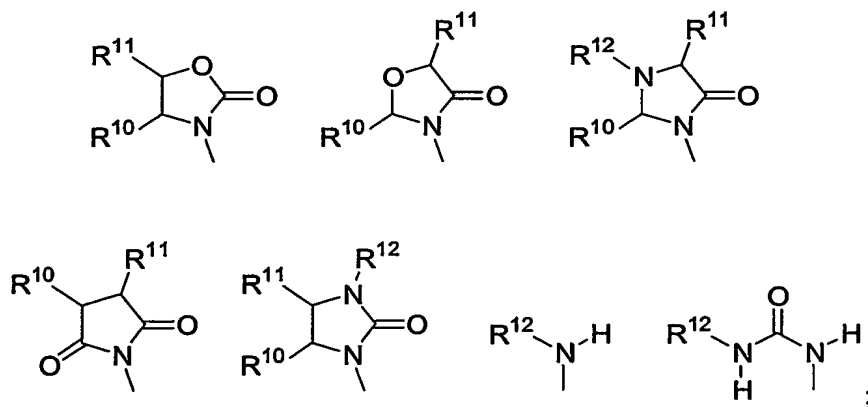
1. A compound of the formula



wherein:

- 5 n is an integer selected from 0, 1, and 2;
 A is R⁵O-, monosubstituted amino, or disubstituted amino;
 A' is R^{5'}O-, monosubstituted amino, or disubstituted amino;
 R¹ is hydrogen or C₁-C₆ alkyl;
 R² is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₄ alkoxy, C₁-C₄
 10 alkylthio, halo, haloalkyl, cyano, formyl, alkylcarbonyl, alkoxy carbonyl, or a substituent
 selected from the group consisting of -CO₂R⁸, -CONR⁸R^{8'}, and -NR⁸(COR⁹);

R³ is a structure selected from the group consisting of



- R⁴ is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₈ cycloalkyl, C₃-C₉
 15 cycloalkenyl, C₁-C₃ alkylcarbonyl, optionally substituted aryl, optionally substituted
 aryl(C₁-C₄ alkyl), optionally substituted aryl(C₂-C₄ alkenyl), or optionally substituted
 aryl(C₂-C₄ alkynyl);

- R⁵ and R^{5'} are each independently selected from the group consisting of
 hydrogen, C₁-C₆ alkyl, C₃-C₈ cycloalkyl, (C₁-C₄ alkoxy)-(C₁-C₄ alkyl), optionally
 20 substituted aryl(C₁-C₄ alkyl), Y-, Y-(C₁-C₄ alkyl), Y', Y'-(C₁-C₄ alkyl), R⁶R⁷N-(C₂-C₄
 alkyl), and R^{6'}R^{7'}N-(C₂-C₄ alkyl);

- 76 -

- where Y and Y' are each independently selected from the group consisting of tetrahydrofuryl, morpholinyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, and quinuclidinyl; where said morpholinyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, or quinuclidinyl is optionally N-substituted with C₁-C₄ alkyl or optionally substituted aryl(C₁-C₄ alkyl);
- 5 R⁶ is hydrogen or C₁-C₆ alkyl;
 R⁷ is C₁-C₆ alkyl, C₃-C₈ cycloalkyl, optionally substituted aryl, or optionally substituted aryl(C₁-C₄ alkyl); or
 R⁶ and R⁷ are taken together with the attached nitrogen atom to
- 10 form an heterocycle selected from the group consisting of pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, and homopiperazinyl; where said piperazinyl or homopiperazinyl is optionally N-substituted with R¹³;
 R^{6'} is hydrogen or C₁-C₆ alkyl;
 R^{7'} is C₁-C₆ alkyl, C₃-C₈ cycloalkyl, optionally substituted aryl, or
- 15 optionally substituted aryl(C₁-C₄ alkyl); or
 R^{6'} and R^{7'} are taken together with the attached nitrogen atom to form an heterocycle selected from the group consisting of pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, and homopiperazinyl; where said piperazinyl or homopiperazinyl is optionally N-substituted with R^{13'};
- 20 R⁸ and R^{8'} are each independently selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₃-C₈ cycloalkyl, optionally substituted aryl, and optionally substituted aryl(C₁-C₄ alkyl); or
 R⁸ and R^{8'} are taken together with the attached nitrogen atom to form an heterocycle selected from the group consisting of optionally substituted
- 25 pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, and homopiperazinyl;
 R⁹ is selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₃-C₈ cycloalkyl, (C₁-C₄ alkoxy)-(C₁-C₄ alkyl), optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), optionally substituted heteroaryl, optionally substituted heteroaryl(C₁-C₄ alkyl), and R⁸R^{8'}N-(C₁-C₄ alkyl);
- 30 R¹⁰ and R¹¹ are each independently selected from the group consisting of hydrogen, optionally substituted C₁-C₆ alkyl, optionally substituted C₃-C₈ cycloalkyl, C₁-C₄ alkoxy carbonyl, C₁-C₅ alkyl carbonyloxy, optionally substituted aryl, optionally

- 77 -

substituted aryl(C₁-C₄ alkyl), optionally substituted aryl(C₁-C₄ alkyloxy), optionally substituted aryl(C₁-C₄ alkylcarbonyloxy), diphenylmethoxy, and triphenylmethoxy;

R¹², R¹³, and R^{13'} are each independently selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₃-C₈ cycloalkyl, C₁-C₄ alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted aryl(C₁-C₄ alkyl), and optionally substituted aryloyl; and

hydrates, solvates, and pharmaceutically acceptable salts thereof.

2. The compound of claim 1, wherein A is acyclic disubstituted amino.
3. The compound of claim 1, wherein A is cyclic disubstituted amino.
4. The compound of claim 1, wherein A is monosubstituted amino of the formula XNH-, where X is selected from the group consisting of C₁-C₆ alkyl, C₃-C₈ cycloalkyl, (C₁-C₄ alkoxy)-(C₁-C₄ alkyl), optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), optionally substituted aryl(C₃-C₇ cycloalkyl), optionally substituted indan-1-yl, optionally substituted indan-2-yl, optionally substituted 1,2,3,4-tetrahydronaphth-1-yl, optionally substituted 1,2,3,4-tetrahydronaphth-2-yl, Y, Y-(C₁-C₄ alkyl), R⁶R⁷N-, and R⁶R⁷N-(C₂-C₄ alkyl).
5. The compound of claim 1, wherein A is disubstituted amino of the formula R¹⁴XN-; where R¹⁴ is selected from the group consisting of hydroxy, C₁-C₆ alkyl, C₁-C₄ alkoxy carbonyl, and benzyl; and where X is selected from the group consisting of C₁-C₆ alkyl, C₃-C₈ cycloalkyl, (C₁-C₄ alkoxy)-(C₁-C₄ alkyl), optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), optionally substituted aryl(C₃-C₇ cycloalkyl), optionally substituted indan-1-yl, optionally substituted indan-2-yl, optionally substituted 1,2,3,4-tetrahydronaphth-1-yl, optionally substituted 1,2,3,4-tetrahydronaphth-2-yl, Y, Y-(C₁-C₄ alkyl), R⁶R⁷N-, and R⁶R⁷N-(C₂-C₄ alkyl).
6. The compound of claim 1, wherein A is disubstituted amino of the formula R¹⁴XN-, where R¹⁴ and X are taken together with the attached nitrogen atom to form an optionally substituted heterocycle selected from the group consisting of pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, pyrrolidinonyl, piperidinonyl, 2-(pyrrolidin-1-ylmethyl)pyrrolidin-1-yl, and 1,2,3,4-tetrahydroisoquinolin-2-yl.
7. The compound of claim 6, wherein the optionally substituted heterocycle is substituted with a substituent selected from the group consisting of

optionally substituted C₁-C₆ alkyl, optionally substituted C₃-C₈ cycloalkyl, C₁-C₄ alkoxy, C₁-C₅ alkylcarbonyloxy, optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), optionally substituted aryl(C₁-C₄ alkoxy), optionally substituted aryl(C₁-C₄ alkylcarbonyloxy), R⁶R⁷N-, and R⁶R⁷N-(C₁-C₄ alkyl).

5 8. The compound of claim 6, wherein R¹⁴ and X are taken together with the attached nitrogen atom to form piperidinyl optionally substituted at the 4-position with hydroxy, C₁-C₆ alkyl, C₃-C₈ cycloalkyl, C₁-C₄ alkoxy, (C₁-C₄ alkoxy)carbonyl, (hydroxy(C₂-C₄ alkoxy))-(C₂-C₄ alkyl), R⁶R⁷N-, R⁶R⁷N-(C₁-C₄ alkyl), diphenylmethyl, optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), or
10 piperidin-1-yl(C₁-C₄ alkyl).

 9. The compound of claim 6, wherein R¹⁴ and X are taken together with the attached nitrogen atom to form piperazinyl optionally substituted at the 4-position with C₁-C₆ alkyl, C₃-C₈ cycloalkyl, optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), α-methylbenzyl, N-(C₁-C₅ alkyl) acetamid-2-yl, N-(C₃-C₈
15 cycloalkyl) acetamid-2-yl, R⁶R⁷N-, or (C₁-C₄ alkoxy)carbonyl.

 10. The compound of claim 6, wherein R¹⁴ and X are taken together with the attached nitrogen atom to form homopiperazinyl optionally substituted in the 4-position with C₁-C₄ alkyl, aryl, or aryl(C₁-C₄ alkyl).

 11. The compound of claim 1, wherein A' is acyclic disubstituted
20 amino.

 12. The compound of claim 1, wherein A' is cyclic disubstituted amino.

 13. The compound of claim 1, wherein A' is monosubstituted amino of the formula X'NH-, where X' is selected from the group consisting of C₁-C₆ alkyl, C₃-C₈
25 cycloalkyl, (C₁-C₄ alkoxy)-(C₁-C₄ alkyl), optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), optionally substituted aryl(C₃-C₇ cycloalkyl), optionally substituted indan-1-yl, optionally substituted indan-2-yl, optionally substituted 1,2,3,4-tetrahydronaphth-1-yl, optionally substituted 1,2,3,4-tetrahydronaphth-2-yl, Y', Y'-(C₁-C₄ alkyl), R⁶R⁷N-, and R⁶R⁷N-(C₂-C₄ alkyl).

 14. The compound of claim 1, wherein A' is disubstituted amino of the formula R^{14'}X'N-; where R^{14'} is selected from the group consisting of hydroxy, C₁-C₆ alkyl, C₁-C₄ alkoxy, and benzyl; and where X' is selected from the group

consisting of C₁-C₆ alkyl, C₃-C₈ cycloalkyl, (C₁-C₄ alkoxy)-(C₁-C₄ alkyl), optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), optionally substituted aryl(C₃-C₇ cycloalkyl), optionally substituted indan-1-yl, optionally substituted indan-2-yl, optionally substituted 1,2,3,4-tetrahydronaphth-1-yl, optionally substituted 1,2,3,4-tetrahydronaphth-2-yl, Y', Y'-(C₁-C₄ alkyl), R^{6'}R^{7'}N-, and R^{6'}R^{7'}N-(C₂-C₄ alkyl).

15 15. The compound of claim 1, wherein A' is disubstituted amino of the formula R^{14'}X'N-, where R^{14'} and X' are taken together with the attached nitrogen atom to form an optionally substituted heterocycle selected from the group consisting of pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, pyrrolidinonyl, piperidinonyl, 2-(pyrrolidin-1-ylmethyl)pyrrolidin-1-yl, and 1,2,3,4-tetrahydroisoquinolin-2-yl.

10 16. The compound of claim 15, wherein the optionally substituted heterocycle is substituted with a substituent selected from the group consisting of optionally substituted C₁-C₆ alkyl, optionally substituted C₃-C₈ cycloalkyl, C₁-C₄ alkoxycarbonyl, C₁-C₅ alkylcarbonyloxy, optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), optionally substituted aryl(C₁-C₄ alkyloxy), optionally substituted aryl(C₁-C₄ alkylcarbonyloxy), R^{6'}R^{7'}N-, and R^{6'}R^{7'}N-(C₁-C₄ alkyl).

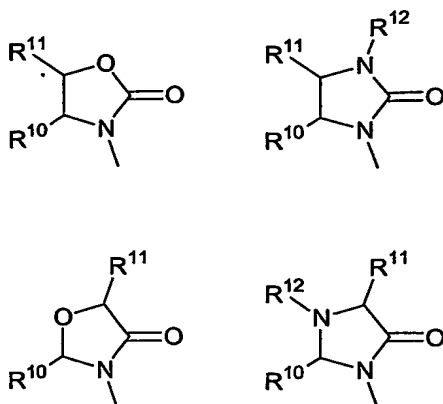
15 17. The compound of claim 15, wherein R^{14'} and X' are taken together with the attached nitrogen atom to form piperidinyl optionally substituted at the 4-position with hydroxy, C₁-C₆ alkyl, C₃-C₈ cycloalkyl, C₁-C₄ alkoxy, (C₁-C₄ alkoxy)carbonyl, (hydroxy(C₂-C₄ alkyloxy))-(C₂-C₄ alkyl), R^{6'}R^{7'}N-, R^{6'}R^{7'}N-(C₁-C₄ alkyl), diphenylmethyl, optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), or piperidin-1-yl(C₁-C₄ alkyl).

20 18. The compound of claim 15, wherein R^{14'} and X' are taken together with the attached nitrogen atom to form piperazinyl optionally substituted at the 4-position with C₁-C₆ alkyl, C₃-C₈ cycloalkyl, optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), α-methylbenzyl, N-(C₁-C₅ alkyl) acetamid-2-yl, N-(C₃-C₈ cycloalkyl) acetamid-2-yl, R^{6'}R^{7'}N-, or (C₁-C₄ alkoxy)carbonyl.

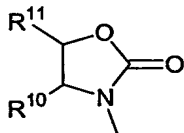
25 19. The compound of claim 15, wherein R^{14'} and X' are taken together with the attached nitrogen atom to form homopiperazinyl optionally substituted in the 4-position with C₁-C₄ alkyl, aryl, or aryl(C₁-C₄ alkyl).

30 20. The compound of any of claims 1-19, wherein R³ is a structure selected from the group consisting of

- 80 -



21. The compound of any of claims 1-19, wherein R^3 is



22. The compound of any of claims 1-19, wherein R^4 is optionally substituted aryl(C_1 - C_4 alkyl), optionally substituted aryl(C_2 - C_4 alkenyl), or optionally substituted aryl(C_2 - C_4 alkynyl).

23. The compound of any of claims 1-19, wherein R^4 is optionally substituted aryl(C_2 - C_4 alkenyl).

24. The compound of any of claims 1-24, wherein R^{10} is optionally substituted phenyl.

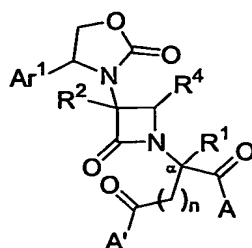
25. The compound of any of claims 1-25, wherein A is monosubstituted amino of the formula $XNH-$, where X is optionally substituted aryl(C_1 - C_4 alkyl).

26. The compound of any of claims 1-26, wherein A' is disubstituted amino of the formula $R^{14'}X'N-$, where $R^{14'}$ and X' are taken together with the attached nitrogen atom to form an optionally substituted heterocycle selected from the group consisting of optionally substituted piperidinyl and optionally substituted piperazinyl.

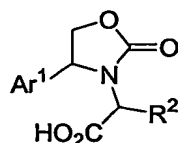
27. A pharmaceutical composition comprising the compound of any of the preceding claims, and a pharmaceutically acceptable carrier, diluent, or excipient.

28. A process for preparing a compound of the formula:

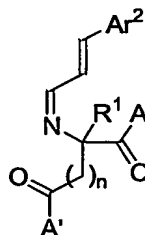
- 81 -



where Ar¹, Ar², R¹, R², R⁴, n, A, and A' are as defined in claim 1, comprising the step of reacting a compound of the formula:



5 with a compound of the formula:



29. A method for treating a disease state responsive to antagonism of a vasopressin V_{1a} receptor in a mammal in need of such treatment, comprising the step of administering to the mammal a pharmaceutically effective amount of the compound of
 10 any of claims 1-26 or a pharmaceutical composition of claim 27.